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NEWS 15	OCT 28	BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS 16	NOV 24	MSDS-CCOHS file reloaded
NEWS 17	DEC 08	CABA reloaded with left truncation
NEWS 18	DEC 08	IMS file names changed
NEWS 19	DEC 09	Experimental property data collected by CAS now available in REGISTRY
NEWS 20	DEC 09	STN Entry Date available for display in REGISTRY and CA/CAplus
NEWS 21	DEC 17	DGENE: Two new display fields added
NEWS 22	DEC 18	BIOTECHNO no longer updated
NEWS 23	DEC 19	CROPU no longer updated; subscriber discount no longer available

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FILE COVERS 1907 - 20 Dec 2003 VOL 139 ISS 26
FILE LAST UPDATED: 19 Dec 2003 (20031219/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s Y5 receptor
           795 Y5
        537287 RECEPTOR
        492043 RECEPTORS
        639917 RECEPTOR
                  (RECEPTOR OR RECEPTORS)
L1         326 Y5 RECEPTOR
                  (Y5(W)RECEPTOR)

=> s l1 and NPY
          5540 NPY
             2 NPIES
          5542 NPY
                  (NPY OR NPIES)
L2          250 L1 AND NPY

=> s l2 and antagonism
         37523 ANTAGONISM
           472 ANTAGONISMS
         37776 ANTAGONISM
                  (ANTAGONISM OR ANTAGONISMS)
L3            9 L2 AND ANTAGONISM

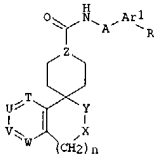
=> d ibib abs hitstr 1-9
```

L3 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:133239 CAPLUS
DOCUMENT NUMBER: 138:170086
TITLE: Preparation of spiro[isoquinoline-piperidine], spiro[indoline-piperidine], and spirocyclohexane compounds as antagonists of neuropeptide Y receptor
INVENTOR(S): Fukami, Takehiro; Nonoshita, Katsumasa; Sagara, Takeshi; Kishino, Hiroyuki
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003014083	A1	20030220	WO 2002-JP7922	20020802

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2001-239567 A 20010807
OTHER SOURCE(S): MARPAT 138:170086
GI



I

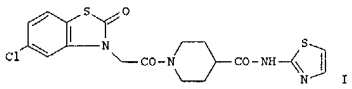
AB The invention relates to compds. such as spiro[cyclohexane-1,1'-(3'H)-isobenzofuran], spiro[4-, 5-, 6-, or 7-azaisobenzofuran-1(3H),1'-cyclohexane], spiro[indoline-3,1'-cyclohexane], spiro[indoline-3,4'-piperidine], spiro[isobenzofuran-1(3H),4'-piperidine], and spiro[isoquinoline-1(2H),4'-piperidine] represented by the general formula (I) or salts or esters thereof [A = linear C1-6 hydrocarbon group which may be substituted or interrupted by oxygen or nitrogen; Ar1 = (un)substituted aryl or heteroaryl; n = 0,1; R = H, lower alkylene; T, U, V, W = (un)substituted CH or N and at least 2 of T, U, V, and W is (un)substituted CH; X = -N(SO2R1)-, -N(COR2)-, or CO; Y = -C(R3)(R4)-, O, or -N(R5)-; and Z = CH or nitrogen; wherein R1 , R2, R5 = H, lower alkyl, aralkyl, aryl; R3, R4 = H, HO, lower alkyl, aralkyl, aryl]. These compds. exhibit neuropeptide Y (**NPY**) receptor **antagonism** and are therefore useful as treating agents for various diseases in which **NPY** participates such as circulatory diseases, central nervous system diseases, and metabolic diseases, in particular over eating (hyperphagia), obesity, and diabetes. Thus, 64 mg 4-phenylcyclohexylamine hydrochloride and 115 mg 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride were added to a soln. of 74 mg trans-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxylic acid in 2 mL pyridine and stirred at room temp. for 24 h to give trans-3'-oxo-N-(trans-4-phenylcyclohexyl)spiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide (II). II and trans-N-[(S)-1-benzyl-2-(benzylamino)ethyl]-1-(methanesulfonyl)spiro[indoline-3,1'-cyclohexane]-4'-carboxamide showed IC50 of 2.5 and 0.69 nM for inhibiting the binding of [125I]peptide YY to human **NPY Y5 receptor**.

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:596189 CAPLUS
DOCUMENT NUMBER: 138:181097
TITLE: Selective **antagonism** of the **NPY Y5 receptor** does not have a major effect on feeding in rats
AUTHOR(S): Turnbull, Andrew V.; Ellershaw, Laraine; Masters, Dave J.; Birtles, Susan; Boyer, Scott; Carroll, Debbie; Clarkson, Paul; Loxham, Sue J. G.; McAulay, Pat; Teague, Joanne L.; Foote, Kevin M.; Pease, J. Elizabeth; Block, Michael H.
CORPORATE SOURCE: Cardiovascular and Gastrointestinal Discovery Department, Astra-Zeneca, Macclesfield, SK4 10TG, UK
SOURCE: Diabetes (2002), 51(8), 2441-2449
CODEN: DIAEAZ; ISSN: 0012-1797
PUBLISHER: American Diabetes Association
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Neuropeptide Y (**NPY**) is thought to play a key role in stimulating feeding, thus making **NPY** receptors attractive appetite-suppressant drug targets for treating obesity. Because the orexigenic effects of **NPY** have been ascribed to actions at the **NPY Y5 receptor**, the role of this receptor in feeding was studied in rats by using a small-mol. antagonist of this receptor. NPY5RA-972 is a selective and potent (<10 nM) **NPY Y5 receptor** antagonist. This compd. is central nervous system (CNS) penetrant, and an oral dose of 10 mg NPY5RA-972/kg to rats produced concns. in cerebrospinal fluid that greatly exceeded the in vitro IC50. Indeed, at doses to rats as low as 1 mg/kg, NPY5RA-972 inhibited feeding induced by intracerebroventricular (ICV) administration of a selective **NPY** Y5 agonist ([cPP1-7,NPY19-23,Ala31,Aib32,Gln34]-hPP). However, in the dose range 1-10 mg/kg, NPY5RA-972 had no significant effect on food intake in Wistar rats induced to feed by either ICV **NPY** or 24-h fasting or in free-feeding Wistar or obese Zucker rats. Chronic administration of NPY5RA-972 (10 mg/kg twice daily) had no effect on food intake or body wt. in either free-feeding Wistar rats or dietary-obese rats. These data indicate that NPY5RA-972 is a potent, selective, orally active, and CNS-penetrant antagonist of the **NPY Y5 receptor** that prevents feeding driven by activation of this receptor. The data obtained with this antagonist indicate that the **NPY Y5 receptor** is not a major regulator of feeding in the rat.
REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:416132 CAPLUS
DOCUMENT NUMBER: 137:289321
TITLE: Food intake inhibition and reduction in body weight gain in lean and obese rodents treated with GW438014A, a potent and selective **NPY-Y5 receptor** antagonist.
AUTHOR(S): Daniels, A. J.; Grizzle, M. K.; Wiard, R. P.; Matthews, J. E.; Heyer, D.
CORPORATE SOURCE: Department of Metabolic Diseases, GlaxoSmithKline, Research Triangle Park, NC, 27709, USA
SOURCE: Regulatory Peptides (2002), 106(1-3), 47-54
CODEN: REPPDY; ISSN: 0167-0115
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Numerous reports have implicated the **Y5 receptor** as the 'feeding' receptor mediating the orexigenic action of neuropeptide Y (**NPY**). This notion is supported by the correlation between the in vitro functional and binding activities of different peptide agonists and their potent stimulation of food intake in rodents. The authors have discovered a series of small mol. heterocycles with high affinity, selectivity, and functional **antagonism** for **Y5 receptors**. I.p. (i.p.) administration of GW438014A into rodents, resulted in a potent redn. of **NPY**-induced and normal overnight food intake. Brain levels of GW438014A were detected well in excess of its binding IC50 for up to 3 h post-dosing. Daily (i.p., BID, 10 mg/kg) administration of this compd. to Zucker Fatty rats for a period of 4 days resulted in a marked decrease in the rate of wt. gain and a redn. in fat mass. No effect on food intake was obsd. following oral administration of GW438014A (25-100 mg/kg), consistent with the poor oral bioavailability (<3%) and low brain levels obsd.
REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:251342 CAPLUS
DOCUMENT NUMBER: 137:262978
TITLE: Novel potent antagonists of human neuropeptide Y **Y5 receptor**. Part 1: 2-oxobenzothiazolin-3-acetic acid derivatives
AUTHOR(S): Tabuchi, Seiichiro; Itani, Hiromichi; Sakata, Yoshihiko; Oohashi, Hiroko; Satoh, Yoshinari
CORPORATE SOURCE: Fujisawa Pharmaceutical Co., Ltd., Medicinal Chemistry Research Laboratories, Osaka, Yodogawa-ku, 532-8514, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(8), 1171-1175
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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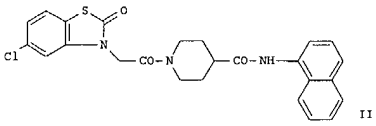


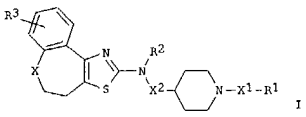
II

AB Novel neuropeptide **NPY-Y5** antagonist FR73966 I was discovered by screening of our inhouse chem. library. The analogs, e.g. II, were prepd. by application of parallel synthesis techniques. Some of the resulting 2-oxobenzothiazolin-3-acetic acid derivs. exhibited nanomolar binding affinity for human **NPY-Y5 receptors**.
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:661421 CAPLUS
DOCUMENT NUMBER: 135:226988
TITLE: Preparation of condensed thiazolamines as neuropeptide Y5 antagonists
INVENTOR(S): Schmidlin, Tibur; Rueeger, Heinrich; Gerspacher, Marc
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft M.B.H.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064675	A1	20010907	WO 2001-EP2339	20010301
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: DE 2000-10010475 A 20000303
DE 2000-10010476 A 20000303
OTHER SOURCE(S): MARPAT 135:226988
GI



I

AB The title compds. [I; R1 = (un)substituted alkyl, cycloalkyl, Ph, etc.; R2 = H, SO3H, PO3H2; R3 = H, alkyl, alkoxy, etc.; X = CH2, O; X1 = CO, SO2; X2 = alkylene] and their pharmaceutically acceptable salts which act against the binding of the neuropeptide Y (**NPY**) to the **Y5-receptor** subtype (**NPY-antagonism**), and might be used in particular for the treatment of adiposity, were prepd. and formulated. E.g., a multi-step synthesis of I [R1 = Me; R2 = H; R3 = 9-F; X = CH2; X1 = CO; X2 = CH2] which showed a redn. in food intake of 57% in rats, was given.
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L3 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:772615 CAPLUS
DOCUMENT NUMBER: 133:335247
TITLE: Preparation of triazinamines, thiazolamines, and benzo[2,3]thiepino[4,5-d][1,3]thiazol-2-ylamines as selective **NPY** (Y5) antagonists
INVENTOR(S): Marzabadi, Mohammad R.; Wong, Wai C.; Noble, Stewart A.; Desai, Mahesh N.
PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA
SOURCE: PCT Int. Appl., 291 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064880	A1	20001102	WO 2000-US10784	20000421
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6340683	B1	20020122	US 1999-296332	19990422
US 6124331	A	20000926	US 1999-343994	19990630
US 6218408	B1	20010417	US 1999-343762	19990630
EP 1183245	A1	20020306	EP 2000-923566	20000421
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002543067	T2	20021217	JP 2000-613833	20000421
US 2002103201	A1	20020801	US 2002-37859	20020103
US 6569856	B2	20030527		

PRIORITY APPLN. INFO.: US 1999-296332 A2 19990422
US 1999-343762 A2 19990630
US 1999-343994 A2 19990630
WO 2000-US10784 W 20000421
OTHER SOURCE(S): MARPAT 133:335247
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. (I), (II), and (III) [wherein R1 = halo, NR3R4, or (un)substituted Ph or heteroaryl; R2 = NR3R4; R3 and R4 = independently H, hydroxyalkyl, thioalkyl, alkoxyalkyl, alkylthioalkyl, (thio)carbamoylalkyl, carboxyalkyl, aminoalkyl, cyanoalkyl, (thio)acyl, (cyclo)alkyl, (cyclo)alkenyl, alkynyl, or (un)substituted phenyl(alkyl) or heteroarylalkyl; or R3 and R4 taken together with the N to which they are attached = (un)substituted azetidinyl, pyrrolidinyl, piperidinyl, azepanyl, (thio)morpholinyl, oxazepanyl, thiazepanyl, piperazinyl, or diazepanyl; R5 = substituted amino(alkyl)cyclohexyl(alkyl)amino, amino(alkyl)piperidinyl, piperidinyl(alkyl)amino, piperazinyl, etc.; Y = O,S, or NH; Ar = (un)substituted heteroaryl; R6 = H, alkyl, hydroxyalkyl, alkoxyalkyl, or (un)substituted Ph; R7 = substituted aminoalkylamino or

L3 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
amino(alkyl)cyclohexyl(alkyl)amino; B = O, NH, or S; X = S, S(O), or SO2; R8 = H or alkyl; R9 = H, halo, CN, OH, NO2, amino, sulfo, hydroxyalkyl, alkoxyalkyl, carbamoylalkyl, akylaminoaklyl, polyfluoroalkly, or (amino)alkyl; m = 0-1; n = 1-2] were prepd. as selective antagonists for the neurotransmitter neuropeptide Y (**Y5 receptor**. For example, reaction of N-[[4-(aminomethyl)cyclohexyl]methyl]-1-naphthalenesulfonamide with 2,4-dichloro-6-(isopropylamino)triazine afforded the triazinediamine (IV) in 60% yield. Assays of IV against cloned human **NPY** receptors showed selectivity for **NPY** (Y5) with a Ki of 138 nM compared to values of > 100,000 nM for **NPY** (Y1), (Y2), and (Y4). The functional in vitro activity for IV, characterized using a RIA of cAMP, was also detd. (pKb = 6.0). I are useful for the treatment of obesity, bulimia nervosa, sexual/reproductive disorders, depression, epileptic seizure, hypertension, cerebral hemorrhage, congestive heart failure, sleep disturbances, or any condition in which **antagonism** of the **Y5 receptor** may be beneficial.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

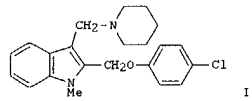
L3 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:496118 CAPLUS
DOCUMENT NUMBER: 133:232364
TITLE: Structure-activity relationships of neuropeptide Y Y1 receptor antagonists related to BIBP 3226
AUTHOR(S): Aiglstorfer, I.; Hendrich, I.; Moser, C.; Bernhardt, G.; Dove, S.; Buschauer, A.
CORPORATE SOURCE: Institute of Pharmacy, University of Regensburg, Regensburg, D-93040, Germany
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(14), 1597-1600
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Analogs of BIBP 3226, (R)-N.alpha.-diphenylacetyl-N-(4-hydroxybenzyl)argininamide, were synthesized and investigated for Y1 **antagonism** (Ca2+-assay, HEL cells) and binding on Y1, Y2 and **Y5 receptors**. Replacing the benzylamino by a tetrahydrobenzazepinyl group preserves most of the Y1 activity. Combination with a NG-phenylpropyl arginine and a N.alpha.-p-biphenylylacetyl moiety shifted the **NPY** receptor selectivity towards Y5.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:6171 CAPLUS
DOCUMENT NUMBER: 130:149083
TITLE: Food intake in free-feeding and energy-deprived lean rats is mediated by the neuropeptide **Y5 receptor**
AUTHOR(S): Criscione, Leoluca; Rigollier, Pascal; Batzl-Hartmann, Christine; Rueger, Heinrich; Stricker-Krongrad, Alain; Wyss, Philipp; Brunner, Liliane; Whitebread, Steven; Yamaguchi, Yasuchika; Gerald, Christoph; Heurich, Rainer O.; Walker, Mary W.; Chiesi, Michele; Schilling, Walter; Hofbauer, Karl G.; Levens, Nigel
CORPORATE SOURCE: Metabolic and Cardiovascular Diseases Research, Novartis Pharma AG, Basel, CH-4002, Switz.
SOURCE: Journal of Clinical Investigation (1998), 102(12), 2136-2145
CODEN: JCINAO; ISSN: 0021-9738
PUBLISHER: Rockefeller University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The new neuropeptide Y (**NPY**) **Y5 receptor** antagonist CGP 71683A displayed high affinity for the cloned rat **NPY** Y5 subtype, but > 1000-fold lower affinity for the cloned rat **NPY** Y1, Y2, and Y4 subtypes. In LMTK cells transfected with the human **NPY Y5 receptor**, CGP 71683A was without intrinsic activity and antagonized **NPY**-induced Ca2+ transients. CGP 71683A was given i.p. (dose range 1-100 mg/kg) to a series of animal models of high hypothalamic **NPY** levels. In lean satiated rats, CGP 71683A significantly antagonized the increase in food intake induced by intracerebroventricular injection of **NPY**. In 24-h fasted and streptozotocin diabetic rats, CGP 71683A dose-dependently inhibited food intake. During the dark phase, CGP 71683A dose-dependently inhibited food intake in free-feeding lean rats without affecting the normal pattern of food intake or inducing taste aversion. In free-feeding lean rats, i.p. administration of CGP 71683A for 28 d inhibited food intake dose-dependently with a max. redn. obsd. on days 3 and 4. Despite the return of food intake to control levels, body wt. and the peripheral fat mass remained significantly reduced. The data demonstrate that the **NPY Y5 receptor** subtype plays a role in **NPY**-induced food intake, but also suggest that, with chronic blockade, counterregulatory mechanisms are induced to restore appetite.

REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:671220 CAPLUS
DOCUMENT NUMBER: 127:325972
TITLE: Potent and Selective 1,2,3-Trisubstituted Indole **NPY** Y-1 Antagonists
AUTHOR(S): Hipskind, Philip A.; Lobb, Karen L.; Nixon, James A.; Britton, Thomas C.; Bruns, Robert F.; Catlow, John; Dieckman-McGinty, Donna K.; Gackenheimer, Susan L.; Gitter, Bruce D.; Iyengar, Smriti; Schober, Douglas A.; Simmons, Rosa M. A.; Swanson, Steve; Zarrinmayeh, Hamideh; Zimmerman, Dennis M.; Gehlert, Donald R.
CORPORATE SOURCE: Lilly Corporate Center, Lilly Research Laboratories A Division of Eli Lilly and Company, Indianapolis, IN, 46285, USA
SOURCE: Journal of Medicinal Chemistry (1997), 40(23), 3712-3714
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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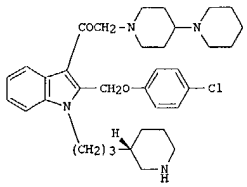

II

AB A series of potent neuropeptide Y (**NPY**) Y1 antagonists were desired to further understand the pharmacol. effects of **NPY** at its various receptor subtypes. Biased library screening and follow-up similarity searching of the Lilly Research Lab. compd. files for **NPY** Y1 antagonists uncovered the trisubstituted indole I (2.1 .mu.M). On the basis of this low mol. wt. lead, a series of trisubstituted indoles were pursued using traditional medicinal chem. In this paper the effects of substituent pattern modifications at N-1, C-2 and C-3 will be reported. The optimal substitution pattern was embodied by 1,2,3-trisubstituted indole II (0.75 nM). In addn. to chem. synthesis, radioligand binding affinities for the cloned human Y1 receptor, in vitro

L3 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
functional activity and selectivity data vs. Y1, Y2, Y4 and **Y5** **receptor** lines are reported. Initial in vivo data showing **antagonism** by II of the feeding induced by intracerebroventricularly injected **NPY** is also presented.

```
=> FIL STNGUIDE
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY     SESSION
FULL ESTIMATED COST                                    33.63       33.84

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY     SESSION
CA SUBSCRIBER PRICE                                    -5.86       -5.86

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Dec 12, 2003 (20031212/UP).

=> s obesity and Y5
             1 OBESITY
             0 Y5
L4           0 OBESITY AND Y5

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY     SESSION
FULL ESTIMATED COST                                     0.66       34.50

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CA SUBSCRIBER PRICE                                     0.00       -5.86

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FILE COVERS 1907 - 20 Dec 2003  VOL 139 ISS 26
FILE LAST UPDATED: 19 Dec 2003  (20031219/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s obesity and Y5
         25091 OBESITY
            64 OBESITIES
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         25094 OBESITY
                 (OBESITY OR OBESITIES)
           795 Y5
L5         112 OBESITY AND Y5

=> s l5 and increase activity
       1425356 INCREASE
        624884 INCREASES
       1871616 INCREASE
                 (INCREASE OR INCREASES)
       1898960 ACTIVITY
        370392 ACTIVITIES
       2050700 ACTIVITY
                 (ACTIVITY OR ACTIVITIES)
           647 INCREASE ACTIVITY
                 (INCREASE(W)ACTIVITY)
L6           0 L5 AND INCREASE ACTIVITY

=> s l5 and receptor
        537287 RECEPTOR
        492043 RECEPTORS
        639917 RECEPTOR
                 (RECEPTOR OR RECEPTORS)
L7         112 L5 AND RECEPTOR

=> s l7 and antagonism
         37523 ANTAGONISM
           472 ANTAGONISMS
         37776 ANTAGONISM
                 (ANTAGONISM OR ANTAGONISMS)
L8           6 L7 AND ANTAGONISM

=> d his

     (FILE 'HOME' ENTERED AT 07:11:14 ON 20 DEC 2003)

     FILE 'CAPLUS' ENTERED AT 07:11:26 ON 20 DEC 2003
L1           326 S Y5 RECEPTOR
L2           250 S L1 AND NPY
L3             9 S L2 AND ANTAGONISM

     FILE 'STNGUIDE' ENTERED AT 07:18:39 ON 20 DEC 2003
L4             0 S OBESITY AND Y5

     FILE 'CAPLUS' ENTERED AT 07:25:10 ON 20 DEC 2003
L5           112 S OBESITY AND Y5
L6             0 S L5 AND INCREASE ACTIVITY
L7           112 S L5 AND RECEPTOR
L8             6 S L7 AND ANTAGONISM

=> s l8 not l3
L9             2 L8 NOT L3

=> d ibib abs hitstr 1-2
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L9 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:640104 CAPLUS
TITLE: Aminotetralin-derived neuropeptide Y **Y5 receptor** antagonists
AUTHOR(S): Dax, Scott L.; Youngman, Mark A.; McNally, James J.; Lovenberg, Timothy W.; Willard, Nicole M.; Reitz, Allen B.; Nepomuceno, Diane; Wilson, Sandy; Crooke, Jeffrey; Rosenthal, Daniel; Vaidya, Anil
CORPORATE SOURCE: Drug Discovery, R W Johnson Pharmaceutical Research Institute, Spring House, PA, 19477-0776, USA
SOURCE: Abstracts of Papers, 222nd ACS National Meeting, Chicago, IL, United States, August 26-30, 2001 (2001), MEDI-285. American Chemical Society: Washington, D. C.
CODEN: 69BUZP
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English

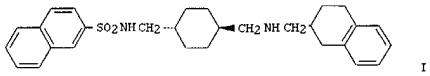
AB Starting from a lone micromolar screening lead, a diverse family of aminotetralin-derived neuropeptide Y **Y5** antagonists have been designed, synthesized and evaluated. The refinement of Structure-Activity Relationships (SAR) among the structural subsets will be discussed and key in vivo properties of select compds. will be presented, including redn. of feeding in animal models of feeding / **obesity**. **Antagonism** of the neuropeptide Y **Y5 receptor** may offer a therapeutic strategy for the treatment of feeding disorders and **obesity** in humans.

L9 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:473704 CAPLUS
DOCUMENT NUMBER: 127:95085
TITLE: Preparation of aryl sulfonamide and sulfamide derivatives which bind selectively to the human **Y5 receptor**
INVENTOR(S): Islam, Imadul; Dhanoa, Daljit S.; Finn, John M.; Du, Ping; Gluchowski, Charles; Jeon, Yoon T.
PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA; Islam, Imadul; Dhanoa, Daljit S.; Finn, John M.; Du, Ping; Gluchowski, Charles; Jeon, Yoon T.
SOURCE: PCT Int. Appl., 171 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9719682	A1	19970605	WO 1996-US19085	19961127
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9713281	A1	19970619	AU 1997-13281	19961127
US 6211241	B1	20010403	US 1998-88450	19980601
US 6391877	B1	20020521	US 2000-709036	20001108
US 2003013714	A1	20030116	US 2002-114597	20020402

PRIORITY APPLN. INFO.:
US 1995-566104 A2 19951201
WO 1996-US19085 W 19961127
US 1998-88450 A1 19980601
US 2000-709036 A1 20001108

OTHER SOURCE(S): MARPAT 127:95085
GI



I

AB The title compds. ArXSO2L'KW [Ar = (un)substituted Ph (generic structure given), etc.; X = NH, etc.; L' = NR1L, etc.; L = alkyl, etc.; R1 = H, alkyl; K = CH2NR10CO(CH2)3, etc.; R10 = H, alkyl; W = (un)substituted Ph (generic structure given), etc.] are prepd. This invention is also related to uses of these compds. for the treatment of feeding disorders such as **obesity**, anorexia nervosa, bulimia nervosa, and abnormal conditions such as sexual/reproductive disorders, depression, epileptic seizure, hypertension, cerebral hemorrhage, congestive heart failure or

L9 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

sleep disturbances and for the treatment of any disease in which **antagonism** of a **Y5 receptor** may be useful. In an in vitro test for the binding affinity for the human **Y5 receptor**, the title compd. I in vitro showed the Ki value of 14 nM.

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	16.41	50.91

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.30	-7.16

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